

=> fil lreg

FILE 'LREGISTRY' ENTERED AT 15:43:45 ON 07 MAY 2004  
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LREGISTRY IS A STATIC LEARNING FILE

=> fil reg

FILE 'REGISTRY' ENTERED AT 15:43:48 ON 07 MAY 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8  
DICTIONARY FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> fil beilstein

FILE 'BEILSTEIN' ENTERED AT 15:43:56 ON 07 MAY 2004  
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FILE RELOADED ON OCTOBER 20, 2002  
FILE LAST UPDATED ON MARCH 30, 2004

FILE COVERS 1771 TO 2003.  
\*\*\* FILE CONTAINS 8,932,479 SUBSTANCES \*\*\*

>>> PLEASE NOTE: Reaction data and substance data are stored in  
separate documents and can not be searched together in one  
query.  
Reaction data for BEILSTEIN compounds may be displayed  
immediately with the display codes PRE (preparations) and REA  
(reactions). A substance answer set retrieved after the search  
for a chemical name, a molecular formula or a structure search  
for example can be restricted to compounds with available  
reaction information by concatenation with PRE/FA, REA/FA or  
more general with RX/FA. The BEILSTEIN Registry Number (BRN)  
is the link between a BEILSTEIN compound and belonging reactions.  
For more detailed reaction searches BRNs can be selected from  
substance answer sets and searched in the next step as reaction  
partner BRNs - Reactant (RX.RBRN) or Product BRN (RX.PBRN).

After a search for reaction details substance documents associated with reactants or products may be retrieved by searching RX.PBRNs or RX.RBRNs as BRNs. <<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
\* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. \*  
\* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE \*  
\* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE \*  
\* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. \*  
\* FOR PRICE INFORMATION SEE HELP COST \*  
\*\*\*\*\*

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 15:44:00 ON 07 MAY 2004  
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FILE COVERS 1907 - 7 May 2004 VOL 140 ISS 20  
FILE LAST UPDATED: 6 May 2004 (20040506/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> fil casreact

FILE 'CASREACT' ENTERED AT 15:44:09 ON 07 MAY 2004  
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FILE CONTENT:1840 - 2 May 2004 VOL 140 ISS 18

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem and some records are produced using some INPI data from the period prior to 1986.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> fil uspatfull

FILE 'USPATFULL' ENTERED AT 15:44:14 ON 07 MAY 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 May 2004 (20040506/PD)  
FILE LAST UPDATED: 6 May 2004 (20040506/ED)  
HIGHEST GRANTED PATENT NUMBER: US6732373  
HIGHEST APPLICATION PUBLICATION NUMBER: US2004088770  
CA INDEXING IS CURRENT THROUGH 6 May 2004 (20040506/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 May 2004 (20040506/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2004  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> fil uspat2

FILE 'USPAT2' ENTERED AT 15:44:20 ON 07 MAY 2004  
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FILE COVERS 2001 TO PUBLICATION DATE: 6 May 2004 (20040506/PD)  
FILE LAST UPDATED: 6 May 2004 (20040506/ED)  
HIGHEST GRANTED PATENT NUMBER: US6732373  
HIGHEST APPLICATION PUBLICATION NUMBER: US2004088054  
CA INDEXING IS CURRENT THROUGH 6 May 2004 (20040506/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 May 2004 (20040506/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2003  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2003

USPAT2 is a companion file to USPATFULL. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions

covered in USPATFULL. USPATFULL contains full text of the original published US patents from 1971 to date and the original applications from 2001. In addition, a USPATFULL record for an invention contains a complete list of publications that may be searched in standard search fields, e.g., /PN, /PK, etc.

USPATFULL and USPAT2 can be accessed and searched together through the new cluster USPATALL. Type FILE USPATALL to enter this cluster.

Use USPATALL when searching terms such as patent assignees, classifications, or claims, that may potentially change from the earliest to the latest publication.

=> fil toxcenter

FILE 'TOXCENTER' ENTERED AT 15:44:25 ON 07 MAY 2004  
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FILE COVERS 1907 TO 4 May 2004 (20040504/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See <http://www.nlm.nih.gov/mesh/> and [http://www.nlm.nih.gov/pubs/techbull/nd03/nd03\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html) for a description of changes.

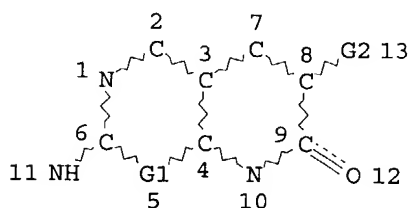
=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 15:44:29 ON 07 MAY 2004  
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Apr 30, 2004 (20040430/UP).

=> d que 15

L4 STR



62  
C=O  
@14 15

61=Z  
62=X1

VAR G1=N/C  
VAR G2=O/N/S/14  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

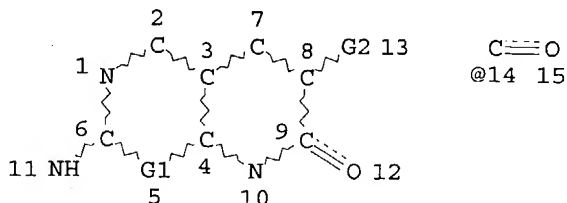
L5 321 SEA FILE=REGISTRY SSS FUL L4

321 hits in Registry

=> d que 18

L4

STR



VAR G1=N/C

VAR G2=O/N/S/14

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L5 321 SEA FILE=REGISTRY SSS FUL L4

L8 ANALYZE PLU=ON L5 1- LC : 6 TERMS

=> d 18

L8

ANALYZE L5 1- LC : 6 TERMS

| TERM # | # OCC | # DOC | % DOC  | LC        |
|--------|-------|-------|--------|-----------|
| 1      | 321   | 321   | 100.00 | CA        |
| 2      | 321   | 321   | 100.00 | CAPLUS    |
| 3      | 313   | 313   | 97.51  | USPATFULL |
| 4      | 203   | 203   | 63.24  | USPAT2    |
| 5      | 102   | 102   | 31.78  | TOXCENTER |
| 6      | 7     | 7     | 2.18   | CASREACT  |

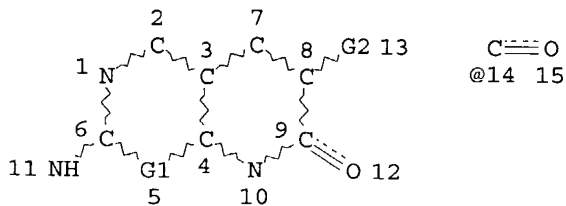
\*\*\*\*\* END OF L8 \*\*\*

Hit registry numbers  
appear in these files

=> d que 19

L4

STR



VAR G1=N/C

VAR G2=O/N/S/14

NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

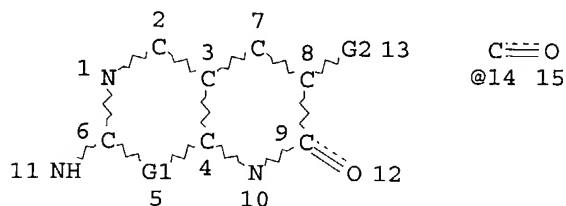
L9 1 SEA FILE=BEILSTEIN SSS FUL L4

*Search structure  
in Beilstein -> 1 hit*

=> d que 17

L4

STR



VAR G1=N/C

VAR G2=O/N/S/14

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

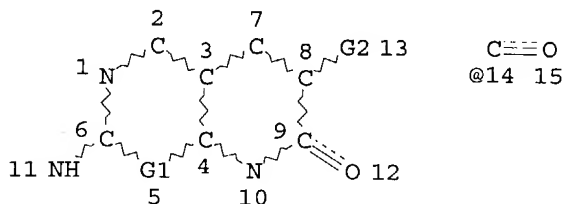
L5 321 SEA FILE=REGISTRY SSS FUL L4

L7 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

=> d que 114

L4

STR



VAR G1=N/C

VAR G2=O/N/S/14

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

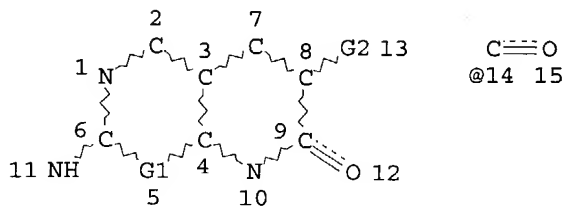
STEREO ATTRIBUTES: NONE

L5 321 SEA FILE=REGISTRY SSS FUL L4  
 L13 7 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND CASREACT/LC  
 L14 2 SEA FILE=CASREACT ABB=ON PLU=ON L13

→ EN that appear  
 in CASREACT

=> d que l15

L4 STR



VAR G1=N/C  
 VAR G2=O/N/S/14  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

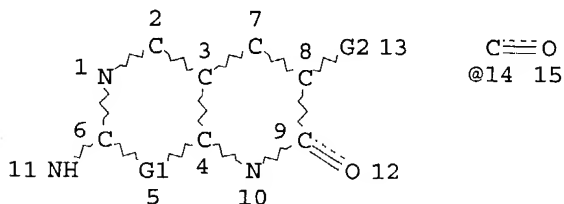
GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L5 321 SEA FILE=REGISTRY SSS FUL L4  
 L10 313 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND USPATFULL/LC  
 L15 3 SEA FILE=USPATFULL ABB=ON PLU=ON L10

=> d que l16

L4 STR



VAR G1=N/C  
 VAR G2=O/N/S/14  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 15

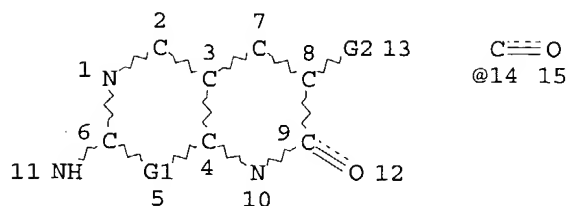
STEREO ATTRIBUTES: NONE

L5 321 SEA FILE=REGISTRY SSS FUL L4  
 L11 203 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND USPAT2/LC  
 L16 1 SEA FILE=USPAT2 ABB=ON PLU=ON L11

=> d que l17

L4

STR



VAR G1=N/C

VAR G2=O/N/S/14

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L5 321 SEA FILE=REGISTRY SSS FUL L4

L12 102 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND TOXCENTER/LC

L17 2 SEA FILE=TOXCENTER ABB=ON PLU=ON L12

=&gt; dup rem 17 114 115 116 117

FILE 'HCAPLUS' ENTERED AT 15:46:06 ON 07 MAY 2004

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FILE 'CASREACT' ENTERED AT 15:46:06 ON 07 MAY 2004

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FILE 'USPATFULL' ENTERED AT 15:46:06 ON 07 MAY 2004

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FILE 'USPAT2' ENTERED AT 15:46:06 ON 07 MAY 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 15:46:06 ON 07 MAY 2004

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PROCESSING COMPLETED FOR L7

PROCESSING COMPLETED FOR L14

PROCESSING COMPLETED FOR L15

PROCESSING COMPLETED FOR L16

PROCESSING COMPLETED FOR L17

L18 9 DUP REM L7 L14 L15 L16 L17 (5 DUPLICATES REMOVED)

ANSWERS '1-6' FROM FILE HCAPLUS

ANSWERS '7-9' FROM FILE USPATFULL

*remove duplicate records*

=&gt; d l18 iall fhitstr

L18 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2003:591180 HCAPLUS

DOCUMENT NUMBER: 139:149646

ENTRY DATE: Entered STN: 01 Aug 2003

*\* only the first hit structure is shown \**



TITLE: Preparation of pyrido[2,3-d]pyrimidin-7-ones as cdk4 inhibitors

INVENTOR(S): Barvian, Mark Robert; Booth, Richard John; Quin, John, III; Repine, Joseph Thomas; Sheehan, Derek James; Toogood, Peter Laurence; Vanderwel, Scott Norman; Zhou, Hairong

PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA

SOURCE: PCT Int. Appl., 146 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

INT. PATENT CLASSIF.:  
MAIN: C07D471-04  
SECONDARY: A61K031-519; A61P035-00; A61P031-12; A61P025-00; C07F009-6561; A61K031-675

CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2003062236          | A1   | 20030731 | WO 2003-IB59    | 20030110   |
| WO 2003062236          | C1   | 20031224 |                 |            |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| US 2003149001          | A1   | 20030807 | US 2003-345778  | 20030116   |
| PRIORITY APPLN. INFO.: |  |          | US 2002-350877P | P 20020122 |

GRAPHIC IMAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

# ABSTRACT:

Title compds. I [wherein X1, X2, X3 = independently H, halo, alkyl, (un)substituted amino, acyl, carbamoyl, sulfamoyl, etc.; R1 = independently H, halo, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl; R2, R4 = independently H, halo, (un)substituted alkyl, amino, acyl, sulfamoyl, carbamoyl, etc.; R3 = H, aryl, alkyl, alkoxy, cycloalkyl, heterocyclyl; R1CCR2 = 3-7 carbocyclic or heterocyclic ring; and their pharmaceutically acceptable salts, esters, amides, or prodrugs] were prepared as cyclin-dependent kinases 4 (cdk4) inhibitors. Examples include 135 invention compds., three biol. assays, one tablet formulation, and a parenteral solution. For example, compound II-2.2HCl was prepared by the solventless reaction of 6-bromo-8-cyclopentyl-2-methylsulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one with 4-(6-aminopyridin-3-yl)piperazine-1-carboxylic acid tert-Bu ester at 120°C for 1 h, followed by deprotection in the presence of gaseous HCl. II selectively inhibited cdk4 over cdk2 with IC50 values of 0.016 µM and 6.052 µM, resp. Thus, I and their formulations are useful for treating cell proliferative disorders, such as cancer,

atherosclerosis, and restenosis (no data).

SUPPL. TERM: pyridopyrimidinone prepn cdk4 inhibitor cancer  
atherosclerosis restenosis formulation

INDEX TERM: Carcinoma  
(adenocarcinoma; preparation of pyrido[2,3-d]pyrimidinones as  
cdk4 inhibitors for treating cell proliferative  
disorders)

INDEX TERM: Lip  
(cancer; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Bladder, neoplasm  
(carcinoma; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Nervous system, neoplasm  
(central; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Uterus, neoplasm  
(cervix; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Intestine, neoplasm  
(colon; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Intestine, neoplasm  
(colorectal; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Nervous system, disease  
(degeneration; preparation of pyrido[2,3-d]pyrimidinones as  
cdk4 inhibitors for treating cell proliferative  
disorders)

INDEX TERM: Kidney, disease  
(diabetic nephropathy; preparation of pyrido[2,3-  
d]pyrimidinones as cdk4 inhibitors for treating cell  
proliferative disorders)

INDEX TERM: Uterus, disease  
(endometriosis; preparation of pyrido[2,3-d]pyrimidinones as  
cdk4 inhibitors for treating cell proliferative  
disorders)

INDEX TERM: Thyroid gland, neoplasm  
(follicular cell carcinoma; preparation of  
pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for  
treating cell proliferative disorders)

INDEX TERM: Neuroglia, neoplasm  
(glioblastoma; preparation of pyrido[2,3-d]pyrimidinones as  
cdk4 inhibitors for treating cell proliferative  
disorders)

INDEX TERM: Kidney, disease  
(glomerulonephritis; preparation of pyrido[2,3-d]pyrimidinones  
as cdk4 inhibitors for treating cell proliferative  
disorders)

INDEX TERM: Liver, neoplasm  
(hepatoma; preparation of pyrido[2,3-d]pyrimidinones as cdk4  
inhibitors for treating cell proliferative disorders)

INDEX TERM: Diabetes mellitus  
(insulin-dependent; preparation of pyrido[2,3-d]pyrimidinones  
as cdk4 inhibitors for treating cell proliferative  
disorders)

INDEX TERM: Skin, neoplasm  
(keratoacanthoma; preparation of pyrido[2,3-d]pyrimidinones as  
cdk4 inhibitors for treating cell proliferative

disorders)

INDEX TERM: Carcinoma  
(large cell; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Leukemia  
(myelogenous; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Nerve, neoplasm  
(neuroblastoma; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Cytoprotective agents  
(neuroprotective; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Thyroid gland, neoplasm  
(papillary carcinoma; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Drug delivery systems  
(parenterals; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Adenoma  
Alzheimer's disease  
Anti-Alzheimer's agents  
Anti-inflammatory agents  
Antirheumatic agents  
Antitumor agents  
Antiviral agents  
Atherosclerosis  
Biliary tract, neoplasm  
Bone, neoplasm  
Brain, neoplasm  
DNA viruses  
Drug delivery systems  
Esophagus, neoplasm  
Fungicides  
Herpesviridae  
Hodgkin's disease  
Human  
Human immunodeficiency virus  
Inflammation  
Leukemia  
Lupus erythematosus  
Lymphoma  
Mammary gland, neoplasm  
Melanoma  
Mouth, neoplasm  
Multiple sclerosis  
Mycosis  
Ovary, neoplasm  
Pancreas, neoplasm  
Pharynx, neoplasm  
Prostate gland, neoplasm  
Psoriasis  
RNA viruses  
Rheumatoid arthritis  
Sarcoma

Testis, neoplasm  
 Thyroid gland, neoplasm  
 Tongue, neoplasm  
 (preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Drug delivery systems  
 (prodrugs; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Transplant and Transplantation  
 (rejection; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Kidney, neoplasm  
 (renal cell carcinoma; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Artery, disease  
 (restenosis; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Intestine, neoplasm  
 (small and large; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Blood vessel  
 (smooth muscle, proliferation; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Carcinoma  
 (squamous cell; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Artery, disease  
 (stenosis; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Drug delivery systems  
 (tablets; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

INDEX TERM: Infection  
 (viral; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

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 571188-62-0P, 4-[6-[(8-Cyclopentyl-6-ethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]pyridin-3-yl]piperazine-1-carboxylic acid tert-butyl ester  
 571188-66-4P, 2-[5-(4-tert-Butoxycarbonylpiperazin-1-yl)pyridin-2-yl]amino]-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid ethyl ester  
 571188-73-3P, 4-[6-[(6-tert-Butoxycarbonylamino-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]pyridin-3-yl]piperazine-1-carboxylic acid tert-butyl ester 571188-82-4P 571188-85-7P,  
 4-[6-[(8-Cyclopentyl-6-fluoro-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]pyridin-3-yl]piperazine-1-carboxylic acid tert-butyl ester 571188-87-9P, 4-[6-[(8-Cyclopentyl-6-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]pyridin-3-yl]piperazine-1-carboxylic acid tert-butyl ester 571188-92-6P, 4-[6-[(6-Benzyl-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]pyridin-3-yl]piperazine-1-carboxylic acid

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ROLE: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or

reagent); USES (Uses)

(cdk4 inhibitor; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

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2-[5-(3-Aminopyrrolidin-1-yl)pyridin-2-ylamino]-8-cyclopentyl-6-hydroxymethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-73-3P, 8-Cyclopentyl-2-[5-(3-ethylaminopyrrolidin-1-yl)pyridin-2-ylamino]-6-hydroxymethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-74-4P,  
8-Cyclopentyl-6-hydroxymethyl-2-[(5-pyrrolidin-1-ylpyridin-2-yl) amino] -8H-pyrido[2,3-d]pyrimidin-7-one 571190-75-5P,  
2-[5-[3-(1-Amino-1-methylethyl)pyrrolidin-1-yl]pyridin-2-ylamino]-8-cyclopentyl-6-hydroxymethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-76-6P, 1-[6-(8-Cyclopentyl-6-hydroxymethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]pyrrolidine-2-carboxylic acid 571190-78-8P, 8-Cyclopentyl-2-[5-(4-diethylaminobutylamino)pyridin-2-ylamino]-6-hydroxymethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-79-9P,  
6-Amino-8-cyclopentyl-2-[5-(3,5-dimethylpiperazin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-80-2P, 6-Amino-8-cyclopentyl-2-[5-(3,3-dimethylpiperazin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-81-3P,  
6-Amino-8-cyclopentyl-2-[5-(4-methylpiperazin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-82-4P, 6-Amino-2-[5-(3-aminopyrrolidin-1-yl)pyridin-2-ylamino]-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-83-5P,  
6-Amino-8-cyclopentyl-2-[5-(3-ethylaminopyrrolidin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-84-6P, 6-Amino-8-cyclopentyl-2-[(5-pyrrolidin-1-ylpyridin-2-yl) amino] -8H-pyrido[2,3-d]pyrimidin-7-one 571190-85-7P, 6-Amino-2-[5-[3-(1-amino-1-methylethyl)pyrrolidin-1-yl]pyridin-2-ylamino]-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-86-8P,  
1-[6-(6-Amino-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]pyrrolidine-2-carboxylic acid 571190-87-9P, 6-Amino-8-cyclopentyl-2-[5-(4-diethylaminobutylamino)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-88-0P 571190-90-4P,  
6-Bromo-8-cyclopentyl-2-[(5-morpholin-4-ylpyridin-2-yl) amino] -8H-pyrido[2,3-d]pyrimidin-7-one 571190-91-5P,  
6-Bromo-8-cyclopentyl-2-(5-diethylaminopyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one 571190-92-6P,  
2-[5-[Bis(2-hydroxyethyl) amino]pyridin-2-ylamino]-6-bromo-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-93-7P,  
2-[5-[Bis(2-methoxyethyl) amino]pyridin-2-ylamino]-6-bromo-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-94-8P,

2-[5-(2-Aminoethylamino)pyridin-2-yl]amino]-6-bromo-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-95-9P,  
 6-Bromo-8-cyclopentyl-2-(5-dimethylaminopyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one 571190-96-0P,  
 N-[6-(6-Bromo-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]-N-methylacetamide 571190-97-1P,  
 6-Bromo-8-cyclopentyl-2-[5-(2-methoxyethoxy)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-98-2P,  
 6-Bromo-8-cyclopentyl-2-[5-(2-methoxyethoxymethyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571190-99-3P,  
 6-Bromo-8-cyclopentyl-2-[5-(2-diethylaminoethoxy)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571191-00-9P,  
 6-Bromo-8-cyclopentyl-2-[6-methyl-5-(piperazin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571191-02-1P,  
 6-Bromo-8-cyclopentyl-2-(5-diethylaminopyridin-2-ylamino)-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-03-2P,  
 2-[5-[Bis(2-hydroxyethyl)amino]pyridin-2-ylamino]-6-bromo-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-04-3P,  
 2-[5-(2-Aminoethylamino)pyridin-2-ylamino]-6-bromo-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-05-4P,  
 6-Bromo-8-cyclopentyl-2-(5-dimethylaminopyridin-2-ylamino)-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-06-5P,  
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 6-Bromo-8-cyclopentyl-2-[5-(2-methoxyethoxymethyl)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-09-8P,  
 6-Bromo-8-cyclopentyl-2-[5-(2-diethylaminoethoxy)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-10-1P,  
 6-Bromo-8-cyclopentyl-5-methyl-2-[5-(pyrrolidin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571191-12-3P,  
 6-Bromo-8-cyclopentyl-5-methyl-2-[6-methyl-5-(piperazin-1-yl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571191-13-4P,  
 6-Acetyl-8-cyclopentyl-2-(5-diethylaminopyridin-2-ylamino)-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-14-5P,  
 6-Acetyl-2-[5-[bis(2-hydroxyethyl)amino]pyridin-2-ylamino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-15-6P,  
 6-Acetyl-2-[5-(2-aminoethylamino)pyridin-2-ylamino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-16-7P,  
 6-Acetyl-8-cyclopentyl-2-(5-dimethylaminopyridin-2-ylamino)-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-17-8P,  
 N-[6-(6-Acetyl-8-cyclopentyl-5-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]-N-methylacetamide 571191-18-9P,  
 6-Acetyl-8-cyclopentyl-2-[5-(2-methoxyethoxy)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-19-0P,  
 6-Acetyl-8-cyclopentyl-2-[5-(2-methoxyethoxymethyl)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-20-3P,  
 6-Acetyl-8-cyclopentyl-2-[5-(2-diethylaminoethoxy)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571191-21-4P,  
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fluorobenzylamino)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one **571191-51-0P**,  
N-[6-(6-Amino-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]methanesulfonamide  
**571191-52-1P**, 6-Amino-8-cyclopentyl-2-(5-methylsulfonylpyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-53-2P**, 6-Amino-8-cyclopentyl-2-(5-phenylpyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-54-3P**, 6-Acetyl-8-cyclopentyl-2-[5-(2-methoxyethylamino)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-55-4P**, 6-Acetyl-2-[(5-azetidin-1-ylpyridin-2-yl)amino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-56-5P**, 6-Acetyl-2-[(5-azepan-1-ylpyridin-2-yl)amino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-57-6P**, N-[6-(6-Acetyl-8-cyclopentyl-5-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]acetamide **571191-58-7P**,  
6-Acetyl-8-cyclopentyl-5-methyl-2-(5-phenylaminopyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-59-8P**, 6-Acetyl-8-cyclopentyl-2-[5-(4-fluorobenzylamino)pyridin-2-ylamino]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-60-1P**, N-[6-(6-Acetyl-8-cyclopentyl-5-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]methanesulfonamide **571191-61-2P**,  
6-Acetyl-8-cyclopentyl-2-[5-(methylsulfonylpyridin-2-ylamino)-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-62-3P**, 6-Acetyl-8-cyclopentyl-5-methyl-2-(5-phenylpyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-63-4P**, 6-Benzyl-8-cyclopentyl-2-[5-(2-methoxyethoxy)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-64-5P**, 6-Benzyl-8-cyclopentyl-2-[5-(2-methoxyethylamino)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-65-6P**, 2-[(5-Azetidin-1-ylpyridin-2-yl)amino]-6-benzyl-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-66-7P**, 2-[(5-Azepan-1-ylpyridin-2-yl)amino]-6-benzyl-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-67-8P**, N-[6-(6-Benzyl-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]acetamide  
**571191-68-9P**, 6-Benzyl-8-cyclopentyl-2-(5-phenylaminopyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-69-0P**, 6-Benzyl-8-cyclopentyl-2-[5-(4-fluorobenzylamino)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-70-3P**, N-[6-(6-Benzyl-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]methanesulfonamide  
**571191-71-4P**, 6-Benzyl-8-cyclopentyl-2-(5-methylsulfonylpyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-72-5P**, 6-Benzyl-8-cyclopentyl-2-(5-phenylpyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-73-6P**, 8-Cyclopentyl-6-hydroxymethyl-2-[5-(2-methoxyethoxy)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-74-7P**, 8-Cyclopentyl-6-hydroxymethyl-2-[5-(2-methoxyethylamino)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571191-75-8P** **571191-77-0P**  
**571191-79-2P**, N-[6-(8-Cyclopentyl-6-hydroxymethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]acetamide  
**571191-81-6P** **571191-83-8P**, 8-Cyclopentyl-2-[5-(4-fluorobenzylamino)pyridin-2-ylamino]-6-

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ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cdk4 inhibitor; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

## INDEX TERM:

571192-14-8P, 6-Acetyl-2-[5-(3-aminopyrrolidine-1-carbonyl)pyridin-2-ylamino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-15-9P, 6-Acetyl-8-cyclopentyl-5-methyl-2-[5-(morpholine-4-carbonyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571192-16-0P 571192-17-1P 571192-18-2P, 2-[5-(3-Aminopyrrolidine-1-carbonyl)pyridin-2-ylamino]-8-cyclopentyl-6-ethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-19-3P, 8-Cyclopentyl-6-ethyl-2-[5-(morpholine-4-carbonyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571192-20-6P 571192-21-7P, 6-Bromo-8-cyclopentyl-2-[5-(morpholine-4-sulfonyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571192-22-8P, 2-[5-(3-Aminopyrrolidine-1-sulfonyl)pyridin-2-ylamino]-6-bromo-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-23-9P 571192-24-0P

571192-25-1P, 6-Bromo-8-cyclopentyl-5-methyl-2-[5-(morpholine-4-sulfonyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571192-26-2P, 2-[5-(3-Aminopyrrolidine-1-sulfonyl)pyridin-2-ylamino]-6-bromo-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-27-3P  
 571192-28-4P 571192-29-5P, 8-Cyclopentyl-6-ethyl-2-[5-(morpholine-4-sulfonyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571192-30-8P, 2-[5-(3-Aminopyrrolidine-1-sulfonyl)pyridin-2-ylamino]-8-cyclopentyl-6-ethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-31-9P  
**571192-32-0P 571192-33-1P,**  
 6-Acetyl-8-cyclopentyl-5-methyl-2-[5-(morpholine-4-sulfonyl)pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571192-34-2P,** 6-Acetyl-2-[5-(3-aminopyrrolidine-1-sulfonyl)pyridin-2-ylamino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one **571192-35-3P**  
**571192-36-4P,** 6-Acetyl-8-cyclopentyl-5-methyl-2-([1,6]naphthyridin-2-ylamino)-8H-pyrido[2,3-d]pyrimidin-7-one **571192-37-5P** 571192-38-6P,  
 8-Cyclopentyl-6-hydroxymethyl-5-methyl-2-[(5-(piperazin-1-yl)pyridin-2-ylamino)]-8H-pyrido[2,3-d]pyrimidin-7-one  
**571192-39-7P,** 6-Acetyl-2-[(3-chloro-5-(piperazin-1-yl)pyridin-2-yl)amino]-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one **571192-40-0P,**  
 4-[6-Acetyl-5-methyl-7-oxo-2-(pyridin-2-ylamino)-7H-pyrido[2,3-d]pyrimidin-8-yl]cyclohexanecarboxylic acid  
**571192-41-1P,** 4-[6-Acetyl-2-(5-dimethylaminopyridin-2-ylamino)-5-methyl-7-oxo-7H-pyrido[2,3-d]pyrimidin-8-yl]cyclohexanecarboxylic acid 571192-42-2P,  
 6-(8-Cyclopentyl-6-ethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)-3-piperazin-1-ylpyridine-2-carboxylic acid 571192-43-3P, 2-[6-Acetyl-5-(piperazin-1-yl)pyridin-2-ylamino]-8-cyclopentyl-6-ethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-45-5P, 3-[2-[6-(8-Cyclopentyl-6-ethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]oxy]ethoxy]propionic acid 571192-46-6P,  
 [[6-(8-Cyclopentyl-6-ethyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-ylamino)pyridin-3-yl]oxy]acetic acid  
 571192-47-7P, 8-Cyclopentyl-2-[5-[2-[2-(5-methylpyridin-2-yl)ethoxy]ethoxy]pyridin-2-ylamino]-8H-pyrido[2,3-d]pyrimidin-7-one 571192-48-8P, 2-[5-(3-Benzylsulfonylpropoxy)pyridin-2-ylamino]-8-cyclopentyl-8H-pyrido[2,3-d]pyrimidin-7-one 571192-49-9P 571192-50-2P  
**571192-51-3P,** 6-Acetyl-5-methyl-2-(5-methylpyridin-2-ylamino)-8-piperidin-4-yl-8H-pyrido[2,3-d]pyrimidin-7-one  
**571192-52-4P,** 6-Acetyl-2-[5-(3,4-dihydroxypyrrolidin-1-yl)pyridin-2-ylamino]-8-methoxymethyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
 ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (cdk4 inhibitor; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

## INDEX TERM:

125149-26-0 141349-86-2 147014-97-9, Cyclin-dependent kinase 4

ROLE: BSU (Biological study, unclassified); BIOL (Biological study)

(inhibition; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

## INDEX TERM:

94924-94-4P 211245-81-7P, 8-Cyclopropyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 211245-82-8P, 8-Cyclopropyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 444146-17-2P, 6'-Nitro-3,4,5,6-tetrahydro-2H-[1,3']bipyridinyl 491855-89-1P, 4-(6-Nitropyridin-3-yl)morpholine 571188-58-4P, 6-Bromo-8-cyclopentyl-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-59-5P, 4-(6-Aminopyridin-3-yl)piperazine-1-carboxylic acid tert-butyl ester 571188-67-5P, 8-Cyclopentyl-2-methanesulfinyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid ethyl ester 571188-69-7P, (8-Cyclopentyl-2-methylsulfanyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-6-yl)carbamic acid tert-butyl ester 571188-72-2P, [8-Cyclopentyl-2-(methanesulfinyl)-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-6-yl]carbamic acid tert-butyl ester 571188-77-7P, 6-Bromo-8-cyclohexyl-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-78-8P, 6-Bromo-8-cyclopentyl-2-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-81-3P, 6-Bromo-8-cyclopentyl-2-methanesulfinyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-88-0P, 8-Cyclopentyl-2-methanesulfinyl-6-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-96-0P, 6-Bromomethyl-8-cyclopentyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-97-1P, 8-Cyclopentyl-6-methyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-98-2P, Acetic acid 8-cyclopentyl-2-methylsulfanyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-6-ylmethyl ester 571188-99-3P 571189-05-4P, 8-Cyclopentyl-5-methyl-2-methylsulfanyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid ethyl ester 571189-06-5P, 8-Cyclopentyl-2-methanesulfinyl-5-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid ethyl ester 571189-15-6P, 8-Cyclopentyl-2-methylsulfanyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid ethyl ester 571189-16-7P, 4-(6-Nitropyridin-3-yl)piperazine-1-carboxylic acid tert-butyl ester 571189-17-8P, 6-Bromo-8-cyclohexyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-18-9P, 8-Cyclopentyl-6-iodo-5-methyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-20-3P, 8-Cyclopentyl-6-iodo-2-methanesulfinyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-26-9P, 8-Cyclopentyl-6-ethyl-2-methanesulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-30-5P, 8-Cyclopentyl-6-(2-ethoxyethoxy)-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-46-3P, 8-Cyclopentyl-6-ethyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-47-4P, 8-Cyclopentyl-6-(2-ethoxyethoxy)-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-64-5P, 6-Acetyl-2-amino-8-cyclopentyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-67-8P, 2,2-Dimethyl-4-(6-nitropyridin-3-yl)piperazine-1-carboxylic acid tert-butyl ester 571189-68-9P, 4-(6-Aminopyridin-3-yl)-2,2-dimethylpiperazine-1-carboxylic acid tert-butyl ester 571189-73-6P, 4-(6-Aminopyridin-3-yl)-2,6-dimethylpiperazine-1-carboxylic acid tert-butyl ester 571189-78-1P, 5-Morpholin-4-ylpyridin-2-ylamine 571189-85-0P, 8-Cyclopentyl-6-(2-ethoxyethyl)-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-89-4P, 8-Cyclopentyl-6-(2-methoxyethoxymethyl)-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-90-7P, 8-Cyclopentyl-2-methanesulfinyl-6-(2-methoxyethoxymethyl)-8H-

pyrido[2,3-d]pyrimidin-7-one 571189-95-2P,  
 8-Cyclopentyl-6-ethoxymethyl-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-98-5P, 8-Cyclopentyl-6-methoxymethyl-2-methylsulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-99-6P, 8-Cyclopentyl-2-methanesulfinyl-6-methoxymethyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-01-7P, 2,6-Dimethyl-4-(6-nitropyridin-3-yl)morpholine 571190-02-8P, 5-(2,6-Dimethylmorpholin-4-yl)pyridin-2-ylamine 571190-07-3P, (8-Cyclopentyl-2-methylsulfonyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-6-ylmethyl)carbamic acid benzyl ester 571190-08-4P 571190-14-2P, 6-Bromo-8-cyclopentyl-2-(4-methoxybenzylamino)-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-15-3P, 8-Cyclopentyl-6-[1-ethoxyvinyl-2-(4-methoxybenzylamino)]-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one  
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

## INDEX TERM:

571193-85-6P  
 ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

## INDEX TERM:

108-49-6, 2,6-Dimethylpiperazine 109-04-6, 2-Bromopyridine 110-80-5, 2-Ethoxyethanol 110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 141-86-6, 2,6-Diaminopyridine 141-91-3, 2,6-Dimethylmorpholine 504-29-0, 2-Aminopyridine 763-69-9, 3-Ethoxypropionic acid ethyl ester 2393-23-9, 4-Methoxybenzylamine 24424-99-5, Di-tert-butyl dicarbonate 26448-91-9, 4-Ethoxybutyric acid ethyl ester 30315-34-5 39856-50-3, 5-Bromo-2-nitropyridine 84477-72-5, 2,2-Dimethylpiperazine 97674-02-7, Tributyl(1-ethoxyvinyl)stannane 161617-96-5, 3-[(Benzyloxycarbonyl)amino]propionic acid ethyl ester 211245-62-4, 4-Cyclopentylamino-2-methylsulfonylpyrimidine-5-carboxylic acid ethyl ester 211245-64-6, 4-Cyclopentylamino-2-methylsulfonylpyrimidine-5-carboxaldehyde 211245-66-8, 8-Cyclopentyl-2-methylsulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 211245-67-9, 8-Cyclopentyl-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 211245-79-3, 8-Cyclohexyl-2-methylsulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 211245-80-6, 8-Cyclohexyl-2-methylsulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 352363-26-9, 2-Chloro-8-isopropyl-8H-pyrido[2,3-d]pyrimidin-7-one 355141-49-0 362656-23-3, 8-Cyclopentyl-5-methyl-2-methylsulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 362656-25-5, 6-Bromo-8-cyclopentyl-5-methyl-2-methylsulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 362656-36-8, 8-Cyclopentyl-2-methanesulfinyl-5-methyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-63-1, 8-Cyclopentyl-6-ethyl-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-70-0, 8-Cyclopentyl-2-methylsulfonyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid 571188-83-5, 8-Cyclopentyl-6-fluoro-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571188-84-6, 8-Cyclopentyl-6-fluoro-2-methylsulfonyl-8H-



pyrido[2,3-d]pyrimidin-7-one 571188-93-7,  
 6-Benzyl-8-cyclopentyl-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-21-4, 6-Bromo-8-cyclopentyl-2-methylsulfonyl-8H-pyrido[2,3-d]pyrimidin-7-one 571189-23-6  
 571189-27-0, 6'-Amino-3,4,5,6-tetrahydro-2H-[1,3']bipyridinyl-4-ol 571189-33-8 571189-49-6,  
 5-(4-Methylpiperazin-1-yl)pyridin-2-ylamine 571189-53-2,  
 [1-[6-[(6-Bromo-8-cyclopentyl-5-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]pyridin-3-yl]pyrrolidin-3-yl]carbamic acid tert-butyl ester  
 571189-59-8, 4-(6-Aminopyridin-3-yl)azepane-1-carboxylic acid tert-butyl ester 571189-87-2, 8-Cyclopentyl-6-(2-ethoxyethyl)-2-methanesulfinyl-8H-pyrido[2,3-d]pyrimidin-7-one 571190-12-0

ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD.

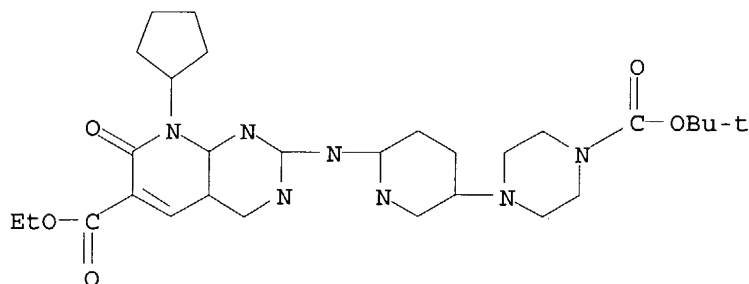
REFERENCE(S): (1) Hoffmann La Roche; WO 02064594 A 2002 HCAPLUS  
 (2) Warner, L; WO 0155148 A 2001 HCAPLUS  
 (3) Warner, L; WO 0170741 A 2001 HCAPLUS

IT 571188-66-4P, 2-[[5-(4-tert-Butoxycarbonylpiperazin-1-yl)pyridin-2-yl]amino]-8-cyclopentyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic acid ethyl ester

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (cdk4 inhibitor; preparation of pyrido[2,3-d]pyrimidinones as cdk4 inhibitors for treating cell proliferative disorders)

RN 571188-66-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 8-cyclopentyl-2-[[5-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-2-pyridinyl]amino]-7,8-dihydro-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

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L18 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2001:713350 HCAPLUS

DOCUMENT NUMBER: 135:272982

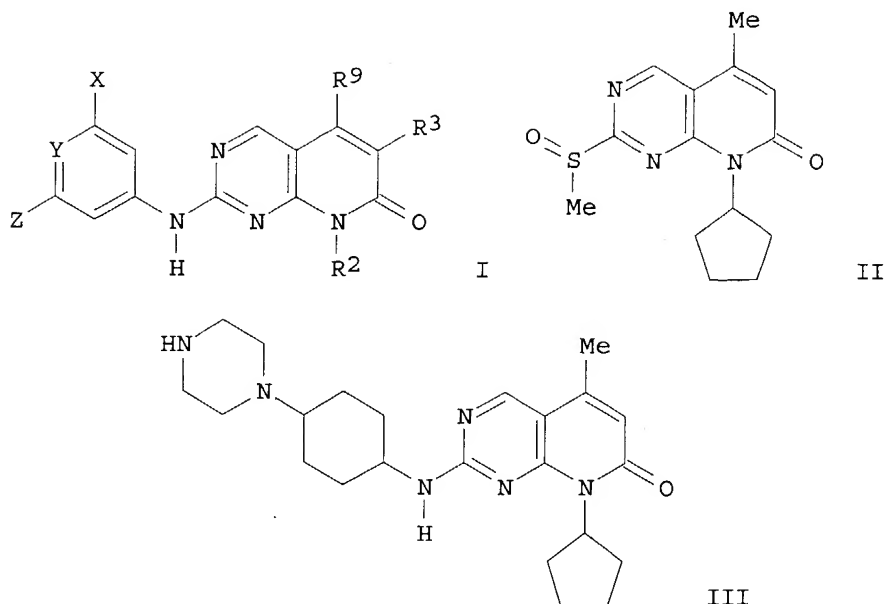
ENTRY DATE: Entered STN: 28 Sep 2001

TITLE: Preparation of 5-alkylpyrido[2,3-d]pyrimidine tyrosine kinase inhibitors

INVENTOR(S): Booth, Richard John; Dobrusin, Ellen Myra; Toogood,

PATENT ASSIGNEE(S): Peter Laurence; Vanderwel, Scott Norman  
SOURCE: Warner-Lambert Company, USA  
PCT Int. Appl., 119 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
INT. PATENT CLASSIF.:  
MAIN: C07D471-04  
SECONDARY: A61K031-505  
CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.   | DATE     |
|------------------------|--|----------|-------------------|----------|
| WO 2001070741          | A1   | 20010927 | WO 2001-US2657    | 20010129 |
| W:                     | AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                   |          |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |          |
| EP 1268476             | A1   | 20030102 | EP 2001-905114    | 20010129 |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                   |          |
| BR 2001009056          | A  | 20030603 | BR 2001-9056      | 20010129 |
| JP 2003528101          | T2   | 20030924 | JP 2001-568942    | 20010129 |
| NZ 520962              | A  | 20030926 | NZ 2001-520962    | 20010129 |
| EE 200200506           | A  | 20040216 | EE 2002-506       | 20010129 |
| NO 2002004235          | A  | 20021105 | NO 2002-4235      | 20020905 |
| BG 107161              | A  | 20030630 | BG 2002-107161    | 20021002 |
| PRIORITY APPLN. INFO.: |  |          | US 2000-187124P P | 20000306 |
|                        |  |          | WO 2001-US2657 W  | 20010129 |
| OTHER SOURCE(S):       | MARPAT 135:272982  |          |                   |          |
| GRAPHIC IMAGE:         |  |          |                   |          |



## ABSTRACT:

The title pyridopyrimidines I [R<sup>2</sup> = H, alkyl, alkyl substituted with halo, HO, alkoxy, H<sub>2</sub>N, alkylamino, HO<sub>2</sub>C, cyano, (hetero)aryl, carbocyclyl containing O, S, N atoms (un)substituted with halo, HO, alkyl, etc.; R<sup>3</sup> = H, alkyl, alkoxy, halo, F<sub>3</sub>C, cyano, NO<sub>2</sub>, R<sub>4</sub>CO, R<sub>4</sub>O<sub>2</sub>C, R<sub>4</sub>R<sub>5</sub>NCO, R<sub>4</sub>R<sub>5</sub>NSO<sub>2</sub>, R<sub>4</sub>SO<sub>2</sub>, P(O)(OR<sub>4</sub>)(OR<sub>5</sub>), etc.; Y = N, CR<sub>7</sub>; R<sup>9</sup> = alkyl, haloalkyl, aryl; X, Z = H, halo, alkyl, alkoxy, F<sub>3</sub>C, HO, cyano, NO<sub>2</sub>, R<sub>4</sub>R<sub>5</sub>N, R<sub>4</sub>R<sub>5</sub>N(:O), R<sub>4</sub>S, R<sub>4</sub>CO, R<sub>4</sub>O<sub>2</sub>C, R<sub>4</sub>R<sub>5</sub>NCO, T(CH<sub>2</sub>)<sub>m</sub>QR<sub>4</sub>, COT(CH<sub>2</sub>)<sub>m</sub>QR<sub>4</sub>, etc; m = 1-6; T = O, S, NR<sub>4</sub>, CR<sub>4</sub>R<sub>5</sub>; Q = O, S, NR<sub>4</sub>, CO<sub>2</sub>, carbocyclyl containing O, S, N atoms (un)substituted by HO, hydroxyalkyl, alkyl, alkoxy, alkoxycarbonyl, aminoalkyl, amino, etc.; R<sub>7</sub> = R<sub>4</sub>R<sub>5</sub>N, HO, R<sub>4</sub>O, R<sub>4</sub>S, R<sub>4</sub>CO, R<sub>4</sub>(CH<sub>3</sub>)<sub>n</sub>, R<sub>4</sub>SO<sub>2</sub>, R<sub>4</sub>O<sub>3</sub>S, CONR<sub>4</sub>SO<sub>2</sub>R<sub>5</sub>, CHO, NO<sub>2</sub>, T(CH<sub>2</sub>)<sub>m</sub>QR<sub>4</sub>, etc; n = 0-6; R<sub>4</sub>, R<sub>5</sub> = H, alkyl, alkenyl, aryl, heteroaryl, etc; R<sub>4</sub>R<sub>5</sub> with bonded N = carbocycle containing CO, O, S, SO, SO<sub>2</sub>, (un)substituted by halo, HO, hydroxyalkyl, alkyl, alkoxy, alkylcarbonyl, trifluoromethylalkyl, (hetero)aryl, NR<sub>10</sub>SO<sub>2</sub>R<sub>11</sub>, CONR<sub>10</sub>R<sub>11</sub>, CO<sub>2</sub>R<sub>10</sub>, etc; R<sub>4</sub> also = alkyl (un)substituted by halo, 5-oxo-4,5-dihydro-1H-1,2,3-triazol-3-ylsulfonyl, carbocycle (un)substituted by halo, HO, hydroxyalkyl, alkyl, alkoxy, H<sub>2</sub>N, alkylamino, etc.; R<sub>10</sub>, R<sub>11</sub> = H, halo, alkyl, alkoxy, alkoxycarbonyl, etc.] were prepared and have cyclin-dependent kinase and growth factor-mediated kinase inhibiting activity with use in treatment of cell proliferative disorders such as cancer and atherosclerosis. Thus, 4-(cyclopentylamino)-2-(methylthio)pyrimidine-5-carboxaldehyde underwent successive Grignard reaction with MeMgBr and N-methylmorpholine oxide/tetrapropylammonium perruthenate oxidation to give 1-[4-(cyclopentylamino)-2-(methylthio)-5-pyrimidinyl]ethanone. Cyclocondensation of the latter with tri-Et phosphonoacetate and then oxidation of the sulfide with trans-2-(phenylsulfonyl)-3-phenyloxaziridine gave the (methylsulfinyl)pyridopyrimidinone II which underwent substitution reaction with 4-[4-(tert-butoxycarbonyl)-1-piperazinyl]aniline and trifluoroacetic acid induced blocking group cleavage to give the (piperazinoanilino)pyridopyrimidinone III. III inhibited cyclin-dependent kinase-4 enzyme with IC<sub>50</sub> 0.007 μM.

## SUPPL. TERM:

pyridopyrimidinone alkyl prepn kinase inhibitor; cell proliferative disease treatment pyridopyrimidinone; cancer treatment pyridopyrimidinone; atherosclerosis treatment

INDEX TERM: pyridopyrimidinone; restenosis treatment pyridopyrimidinone  
Artery, disease  
(coronary, restenosis, treatment; preparation of kinase  
inhibiting alkylpyridopyrimidinones useful for treatment  
of cell proliferative disorders)

INDEX TERM: Antitumor agents  
(preparation of kinase inhibiting alkylpyridopyrimidinones  
useful for treatment of cell proliferative disorders)

INDEX TERM: Anti-Alzheimer's agents  
(preparation of kinase inhibiting alkylpyridopyrimidinones  
useful for treatment of cell proliferative disorders and  
neurodegenerative disease)

INDEX TERM: Atherosclerosis  
Psoriasis  
(treatment; preparation of kinase inhibiting  
alkylpyridopyrimidinones useful for treatment of cell  
proliferative disorders)

INDEX TERM:

|                     |              |              |              |
|---------------------|--------------|--------------|--------------|
| 362656-20-0P        | 362656-21-1P | 362656-27-7P | 362656-28-8P |
| 362656-40-4P        | 362656-51-7P | 362656-52-8P | 362656-54-0P |
| 362656-61-9P        | 362656-65-3P | 362656-69-7P |              |
| <b>362656-73-3P</b> | 362656-75-5P | 362656-78-8P |              |
| 362656-80-2P        | 362656-81-3P | 362656-83-5P | 362656-85-7P |
| 362656-87-9P        | 362656-89-1P | 362656-91-5P | 362656-93-7P |
| 362656-94-8P        | 362656-96-0P | 362656-99-3P | 362657-01-0P |
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| 362657-15-6P        | 362657-17-8P | 362657-19-0P | 362657-21-4P |
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| 362657-36-1P        | 362657-38-3P | 362657-41-8P | 362657-44-1P |
| 362657-47-4P        | 362657-50-9P | 362657-53-2P | 362657-56-5P |
| 362657-59-8P        | 362657-62-3P | 362657-65-6P | 362657-69-0P |
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| 362658-03-5P        | 362658-05-7P | 362658-06-8P | 362658-08-0P |
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| 362658-24-0P        | 362658-25-1P | 362658-27-3P | 362658-29-5P |
| 362658-31-9P        | 362658-32-0P | 362658-33-1P | 362658-34-2P |
| 362658-35-3P        | 362658-36-4P | 362658-40-0P | 362658-43-3P |
| 362658-45-5P        | 362658-46-6P | 362658-48-8P | 362658-49-9P |
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| 362658-58-0P        | 362658-59-1P | 362658-60-4P | 362658-61-5P |
| 362658-62-6P        | 362658-63-7P | 362658-64-8P | 362658-65-9P |
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| 362659-29-8P        | 362659-30-1P | 362659-31-2P | 362659-32-3P |

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362659-94-7P 362659-95-8P 362659-96-9P 362659-97-0P  
362659-98-1P 362659-99-2P 362661-18-5P 363623-52-3P  
363623-53-4P

ROLE: BAC (Biological activity or effector, except adverse);  
BSU (Biological study, unclassified); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); USES (Uses)  
(preparation of kinase inhibiting alkylpyridopyrimidinones  
useful for treatment of cell proliferative disorders)

INDEX TERM:

80449-02-1

ROLE: BPR (Biological process); BSU (Biological study,  
unclassified); BIOL (Biological study); PROC (Process)  
(preparation of kinase inhibiting alkylpyridopyrimidinones  
useful for treatment of cell proliferative disorders)

INDEX TERM:

350-46-9, 1-Fluoro-4-nitrobenzene 867-13-0, Triethyl  
phosphonoacetate 2356-16-3, Triethyl 2-fluoro-2-  
phosphonoacetate 3179-31-5, 3-Mercapto-1,2,4-triazole  
5339-26-4, 4-Nitrophenethyl bromide 92394-00-8  
170911-92-9 184845-02-1 211245-64-6 362656-58-4  
362656-71-1

ROLE: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of kinase inhibiting alkylpyridopyrimidinones  
useful for treatment of cell proliferative disorders)

INDEX TERM:

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362656-18-6P 362656-23-3P 362656-25-5P 362656-31-3P  
362656-36-8P 362656-37-9P 362656-42-6P 362656-44-8P  
362656-46-0P 362656-48-2P 362656-50-6P 362656-56-2P  
362656-62-0P 362656-64-2P 362656-67-5P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT (Reactant or reagent)  
(preparation of kinase inhibiting alkylpyridopyrimidinones  
useful for treatment of cell proliferative disorders)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD.

REFERENCE(S):

- (1) Blankley, C; US 5733914 A 1998 HCAPLUS
- (2) Doherty, A; WO 9833798 A 1998 HCAPLUS
- (3) Trumpp, K; WO 9961444 A 1999 HCAPLUS

IT 362656-73-3P

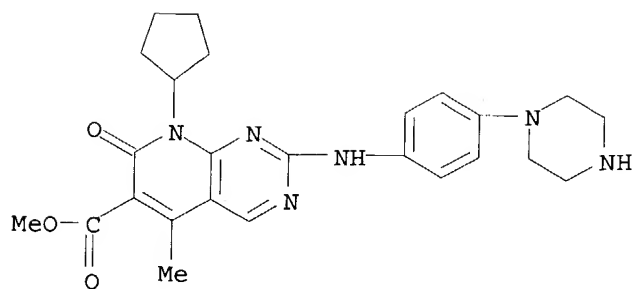
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of kinase inhibiting alkylpyridopyrimidinones useful for  
treatment of cell proliferative disorders)

RN

362656-73-3 HCAPLUS

CN

Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 8-cyclopentyl-7,8-dihydro-5-  
methyl-7-oxo-2-[[4-(1-piperazinyl)phenyl]amino]-, methyl ester (9CI) (CA  
INDEX NAME)



L18 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4  
 ACCESSION NUMBER: 2000:806042 HCAPLUS  
 DOCUMENT NUMBER: 134:100830  
 ENTRY DATE: Entered STN: 16 Nov 2000  
 TITLE: Successful approach for the synthesis of newly fused heterocyclic compounds incorporating phenylperinaphthenone and naphthyridine derivatives  
 AUTHOR(S): Barsy, Magad A.; Khalafallah, Ali K.; Hassan, Mohamed E.; Rezk, Ahmed. A.  
 CORPORATE SOURCE: Department of Chemistry, Faculty of Science, South Valley University, Aswan, 81528, Egypt  
 SOURCE: Heterocyclic Communications (2000), 6(4), 339-344  
 CODEN: HCOMEX; ISSN: 0793-0283  
 PUBLISHER: Freund Publishing House Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
 OTHER SOURCE(S): CASREACT 134:100830  
 ABSTRACT:  
 The reaction of (3-cyano-4-methyl-6-oxo-5-phenylhydrazonopyridin-2-yl)malononitrile with  $\alpha,\beta$ -unsatd. nitriles, hydrazines,  $\text{NH}_2\text{OH}\cdot\text{HCl}$ ,  $\text{PhNCO}$ ,  $\text{HCl}/\text{AcOH}$ , or  $\text{S}$  afforded the corresponding newly fused heterocyclic azines. The structures of these compds. were established by anal. and spectral data.  
 SUPPL. TERM: perinaphthenone fused prepn; naphthyridine fused prepn; fused nitrogen heterocycle prepn; pyridinylmalononitrile unsatd nitrile cyclocondensation  
 INDEX TERM: Heterocyclic compounds  
 ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (nitrogen; preparation of fused heterocycles incorporating phenylperinaphthenone and naphthyridine)  
 INDEX TERM: 57-13-6, Urea, reactions 62-56-6, Thiourea, reactions 100-63-0, Phenylhydrazine 103-71-9, Phenyl isocyanate, reactions 2025-40-3, Ethyl  $\alpha$ -cyanocinnamate 2286-29-5 2286-35-3 18300-87-3 149032-98-4  
 ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of fused heterocycles incorporating phenylperinaphthenone and naphthyridine)  
 INDEX TERM: 320392-81-2P 320392-82-3P  
 320392-83-4P 320392-84-5P 320392-85-6P  
 320392-86-7P 320392-87-8P 320392-88-9P  
 320392-89-0P 320392-90-3P 320392-91-4P

320392-92-5P

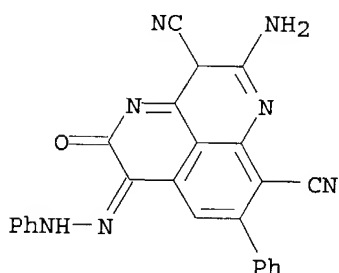
ROLE: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of fused heterocycles incorporating  
phenylperinaphthenone and naphthyridine)

IT 320392-81-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of fused heterocycles incorporating phenylperinaphthenone and  
naphthyridine)

RN 320392-81-2 HCAPLUS

CN 3H-Benzo[de][1,6]naphthyridine-3,9-dicarbonitrile, 2-amino-5,6-dihydro-5-  
oxo-8-phenyl-6-(phenylhydrazono)- (9CI) (CA INDEX NAME)



L18 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 1996:94722 HCAPLUS

DOCUMENT NUMBER: 124:260988

ENTRY DATE: Entered STN: 14 Feb 1996

TITLE: The formation of polyheterocyclic systems by the  
reaction of 2-oxo-2H-1-benzopyran-3-carboxamide and  
related compounds with active methylene compounds  
O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien,  
John E.

AUTHOR(S): Univ. Chem. Lab., Trinity Coll., Dublin, Ire.

CORPORATE SOURCE: Journal of Chemical Research, Synopses (1995), (12),  
490-1

SOURCE: CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

CLASSIFICATION: 28-20 (Heterocyclic Compounds (More Than One Hetero  
Atom))

OTHER SOURCE(S): CASREACT 124:260988

ABSTRACT:

The reactions of 2-oxo-2H-1-benzopyran-3-carboxamide with Et 3-aminocrotonate  
and related active methylene compds. yielded a variety of unusual, complex  
polyheterocyclic structures. The products, derivs. of [1]benzopyrano[3,4-  
c]pyridine, [1]benzopyrano[3,4-c]azocine and [1]benzopyrano[4,3,2-  
de][1,6]naphthyridine, were isolated, and their structural assignments  
confirmed by spectroscopy.

SUPPL. TERM: benzopyrancarboxamide oxo cyclization aminocrotonate;  
benzopyranopyridine prepn; benzopyranoazocine prepn;  
benzopyranonaphthyridine prepn; pyranopyridine benzo prepn;  
pyranonaphthyridine benzo prepn; pyranoazocine benzo prepn  
INDEX TERM: Cyclocondensation reaction

(preparation of heterocyclic compds. via condensation  
reactions of oxobenzopyrancarboxamide with active

INDEX TERM: methylene compds.)  
 Acids, reactions  
 ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (carbon, preparation of heterocyclic compds. via condensation  
 reactions of oxobenzopyrancarboxamide with active  
 methylene compds.)

INDEX TERM: 868-54-2 1118-61-2, 3-Aminocrotonitrile 1846-78-2  
 7318-00-5, Ethyl 3-aminocrotonate  
 ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of heterocyclic compds. via condensation  
 reactions of oxobenzopyrancarboxamide with active  
 methylene compds.)

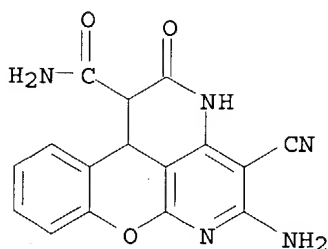
INDEX TERM: 53635-87-3P 79225-40-4P 174968-55-9P  
**174968-56-0P** 174968-57-1P 174968-58-2P  
 174968-61-7P 174968-62-8P 175134-54-0P  
 ROLE: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of heterocyclic compds. via condensation  
 reactions of oxobenzopyrancarboxamide with active  
 methylene compds.)

INDEX TERM: 1846-92-0 30866-42-3 30866-44-5, 2H-1-Benzopyran-3-  
 carboxylic acid, 2-oxo-, [(4-chlorophenyl)methylene]hydrazid  
 e  
 ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of heterocyclic compds. via condensation  
 reactions of oxobenzopyrancarboxamides with active  
 methylene compds.)

IT **174968-56-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of heterocyclic compds. via condensation reactions of  
 oxobenzopyrancarboxamide with active methylene compds.)

RN 174968-56-0 HCAPLUS

CN [1]Benzopyrano[4,3,2-de] [1,6]naphthyridine-1-carboxamide,  
 5-amino-4-cyano-1,2,3,11b-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



L18 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:143149 HCAPLUS

DOCUMENT NUMBER: 140:199338

ENTRY DATE: Entered STN: 22 Feb 2004

TITLE: Preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP  
 kinase inhibitors

INVENTOR(S): Goldstein, David Michael; Lim, Julie Anne

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

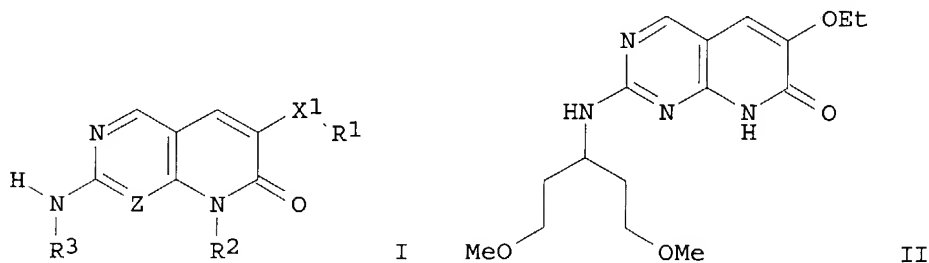
LANGUAGE: English

INT. PATENT CLASSIF.:



MAIN: C07D471-04  
 SECONDARY: A61K031-519; A61P029-00  
 CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 63  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE       |
|---|------|----------|-------------------|------------|
| WO 2004014907   | A1   | 20040219 | WO 2003-EP8357    | 20030729   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                   |            |
| US 2004038999   | A1   | 20040226 | US 2003-634936    | 20030805   |
| PRIORITY APPLN. INFO.:  |      |          | US 2002-401491P   | P 20020806 |
| OTHER SOURCE(S):  |      |          | MARPAT 140:199338 |            |
| GRAPHIC IMAGE:  |      |          |                   |            |



## ABSTRACT:

The title compds. [I; R1 = alkyl, cycloalkyl, cycloalkylalkyl, or CH<sub>2</sub>(alkenyl); X1 = O, NH, N(alkyl), S, CO; Z = N, CH; R2 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, haloalkyl, aryl, etc.], were prepared E.g., a 3-step synthesis of II (starting from 4-amino-2-butylsulfanyl-4,5-dihydropyrimidine-5-carboxaldehyde and Et ethoxyacetate) which showed IC<sub>50</sub> of about 7.7  $\mu$ M in p38 MAP kinase in vitro assay, was given. The pharmaceutical composition comprising the compound I is claimed.

SUPPL. TERM: alkoxy-pyridopyrimidine prepn p38 MAP kinase inhibitor;  
 pyridopyrimidine alkoxy prepn p38 MAP kinase inhibitor  
 INDEX TERM: Intestine, disease  
 (Crohn's, treatment of; preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)  
 INDEX TERM: Respiratory distress syndrome  
 (adult, treatment of; preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)  
 INDEX TERM: Spinal column, disease

(ankylosing spondylitis, treatment of; preparation of  
6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)

INDEX TERM: Lung, disease  
(chronic obstructive, treatment of; preparation of  
6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)

INDEX TERM: Intestine, disease  
(inflammatory, treatment of; preparation of  
6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)

INDEX TERM: Intestine, disease  
(irritable bowel syndrome, treatment of; preparation of  
6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)

INDEX TERM: Anti-Alzheimer's agents  
Anti-inflammatory agents  
Antiasthmatics  
Antirheumatic agents  
Human  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase  
inhibitors)

INDEX TERM: Arthritis  
(psoriatic arthritis, treatment of; preparation of  
6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)

INDEX TERM: Alzheimer's disease  
Asthma  
Psoriasis  
Rheumatoid arthritis  
(treatment of; preparation of 6-alkoxy-pyridopyrimidines as  
p-38 MAP kinase inhibitors)

INDEX TERM: 165245-96-5, p38 MAP kinase  
ROLE: BSU (Biological study, unclassified); BIOL (Biological  
study)  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase  
inhibitors)

INDEX TERM: **661450-66-4P 661450-67-5P**  
ROLE: PAC (Pharmacological activity); RCT (Reactant); SPN  
(Synthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); RACT (Reactant or  
reagent); USES (Uses)  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase  
inhibitors)

INDEX TERM: **661450-62-0P 661450-63-1P**  
**661450-64-2P 661450-65-3P**  
**661450-68-6P 661450-69-7P**  
**661450-70-0P**  
ROLE: PAC (Pharmacological activity); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); USES (Uses)  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase  
inhibitors)

INDEX TERM: 817-95-8, Ethyl ethoxyacetate 2032-34-0,  
3,3-Diethoxypropanenitrile 5909-24-0, Ethyl  
4-chloro-2-methylthiopyrimidine-5-carboxylate 6290-49-9,  
Methyl methoxyacetate 28177-48-2, 2,6-Difluorophenol  
38041-19-9, 4-Aminotetrahydropyran 58859-46-4, Ethyl  
4-amino-1-piperidinecarboxylate 661450-77-7 661450-78-8  
ROLE: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase  
inhibitors)

INDEX TERM: 770-31-0P, 4-Amino-2-(methylthio)pyrimidine-5-carboxaldehyde  
17759-30-7P, 4-Methylamino-2-methylthiopyrimidine-5-methanol  
76360-82-2P, Ethyl 4-(methylamino)-2-(methylthio)pyrimidine-

5-carboxylate 102669-01-2P 105161-35-1P 185040-32-8P,  
4-Methylamino-2-methylthiopyrimidine-5-carboxaldehyde  
185040-33-9P 185040-34-0P 185040-35-1P,  
4-Ethylamino-2-methylthiopyrimidine-5-carboxaldehyde  
449808-49-5P **449810-42-8P** 449811-11-4P  
661450-71-1P 661450-72-2P 661450-73-3P 661450-74-4P  
661450-75-5P 661450-76-6P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT (Reactant or reagent)  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase  
inhibitors)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD.

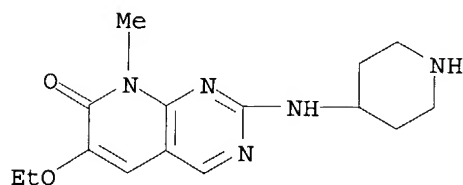
REFERENCE(S): (1) Bartolome, A; US 2002055513 A1 2002 HCAPLUS  
(2) La Roche, H; WO 0129041 A 2001 HCAPLUS  
(3) Switz; WO 02064594 A 2002 HCAPLUS  
(4) Warner-Lambert Company; WO 03062236 A 2003 HCAPLUS

IT **661450-66-4P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP kinase inhibitors)

RN 661450-66-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 6-ethoxy-8-methyl-2-(4-piperidinylamino)-  
(9CI) (CA INDEX NAME)



L18 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637680 HCAPLUS

DOCUMENT NUMBER: 137:185502

ENTRY DATE: Entered STN: 23 Aug 2002

TITLE: Preparation of 2,6-disubstituted 7-oxopyrido[2,3-  
d]pyrimidines for treating p38 mediated disorders

INVENTOR(S): Chen, Jian Jeffrey; Dunn, James Patrick; Goldstein,  
David Michael; Stahl, Christoph Martin

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

INT. PATENT CLASSIF.:

MAIN: C07D487-04

SECONDARY: C07D471-04; C07D519-00

CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero  
Atom))

Section cross-reference(s): 1

FAMILY ACC. NUM. COUNT: 1

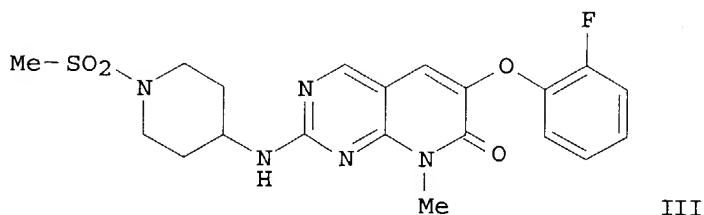
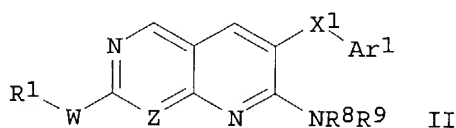
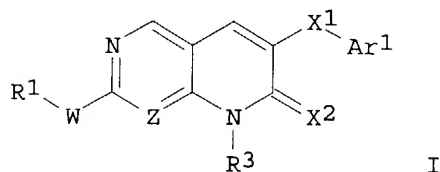
PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

|   |    |                   |                 |            |
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| WO 2002064594   | A3 | 20030109          |                 |            |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |    |                   |                 |            |
| EP 1361880  | A2 | 20031119          | EP 2002-726103  | 20020204   |
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| US 6696566  | B2 | 20040224          |                 |            |
| NO 2003003540   | A  | 20030811          | NO 2003-3540    | 20030811   |
| PRIORITY APPLN. INFO.:  |    |                   | US 2001-268375P | P 20010212 |
|   |    |                   | US 2001-334654P | P 20011130 |
|   |    |                   | WO 2002-EP1106  | W 20020204 |
| OTHER SOURCE(S):  |    | MARPAT 137:185502 |                 |            |
| GRAPHIC IMAGE:  |    |                   |                 |            |



## ABSTRACT:

The title compds. with general formula I or II [wherein Z = N or CH; W = NR<sub>2</sub>; X<sub>1</sub> = O, NR<sub>4</sub>, S, CR<sub>5</sub>R<sub>6</sub>, or CO; R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> = independently H or alkyl; X<sub>2</sub> = O or NR<sub>7</sub>; Ar<sub>1</sub> = (hetero)aryl; R<sub>2</sub> = H, alkyl, acyl, alkoxy, aryloxy, heteroalkyl(oxy)carbonyl, or R<sub>21</sub>-R<sub>22</sub>; R<sub>21</sub> = alkylene or CO; R<sub>22</sub> = alkyl or alkoxy; R<sub>1</sub> = H, (halo)alkyl, (hetero)aryl, (hetero)aralkyl, cyclo(alkyl)alkyl, hetero(cyclyl)alkyl, cyanoalkyl, heterocyclyl, or substituted hetero(alkyl)cycloalkyl, heterocycloamino, or acyl(alkylene); R<sub>3</sub> = H, (cyclo)alkyl, cycloalkylalkyl, aryl, aralkyl, haloalkyl, heteroalkyl, cyanoalkyl, acylalkylene, (un)substituted amino; R<sub>7</sub> = H or alkyl; R<sub>8</sub> and R<sub>9</sub> = independently H, (cyclo)alkyl, aryl(sulfonyl), aralkyl, cycloalkylalkyl, heteroalkyl, alkylsulfonyl, acyl, etc.; and pharmaceutically acceptable salts thereof] were prepared. For example, the substitution reaction of 6-(2-fluorophenoxy)-8-methyl-2-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7(8H)-one

(preparation given) and 1-(methylsulfonyl)piperidin-4-amine (preparation given), followed

by salt formation, gave the phenoxypyrido[2,3-d]pyrimidinone III•HCl. I and II have IC50 activity against p38 kinase in the range of 0.1-5000 nM, with the majority being 1-1000 nM. I and II are useful for the treatment of arthritis, Crohn's disease, irritable bowel syndrome, adult respiratory distress syndrome, chronic obstructive pulmonary disease, or Alzheimer's disease (no data).

SUPPL. TERM: pyridopyrimidine pyridopyrimidinone oxopyridopyrimidine  
prepn treatment p38 disorder; pyridopyrimidinone  
pyridopyrimidine oxopyridopyrimidine prepn Alzheimers  
disease treatment

INDEX TERM: Intestine, disease  
(Crohn's; preparation of oxopyrido[2,3-d]pyrimidines for  
treating p38 mediated disorders)

INDEX TERM: Respiratory distress syndrome  
(adult; preparation of oxopyrido[2,3-d]pyrimidines for  
treating p38 mediated disorders)

INDEX TERM: Lung, disease  
(chronic obstructive; preparation of oxopyrido[2,3-  
d]pyrimidines for treating p38 mediated disorders)

INDEX TERM: Intestine, disease  
(irritable bowel syndrome; preparation of oxopyrido[2,3-  
d]pyrimidines for treating p38 mediated disorders)

INDEX TERM: Alzheimer's disease  
Anti-Alzheimer's agents  
Antiarthritics  
Arthritis  
Human  
(preparation of oxopyrido[2,3-d]pyrimidines for treating p38  
mediated disorders)

INDEX TERM: 449808-64-4P 449809-00-1P  
449809-02-3P 449809-18-1P 449809-33-0P  
ROLE: PAC (Pharmacological activity); RCT (Reactant); SPN  
(Synthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); RACT (Reactant or  
reagent); USES (Uses)  
(inhibitor; preparation of oxopyrido[2,3-d]pyrimidines for  
treating p38 mediated disorders)

INDEX TERM: 449808-60-0P 449808-61-1P  
449808-63-3P 449808-65-5P 449808-66-6P  
449808-67-7P 449808-68-8P 449808-69-9P  
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 449811-95-4P 449811-96-5P

ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of oxopyrido[2,3-d]pyrimidines for treating p38 mediated disorders)

INDEX TERM:

6309-59-7P 17277-58-6P 17759-30-7P 17918-67-1P  
 21926-00-1P 24115-20-6P 39856-89-8P 70772-78-0P  
 76360-82-2P 100193-64-4P 105161-35-1P 112434-18-1P  
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ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxopyrido[2,3-d]pyrimidines for treating p38 mediated disorders)

INDEX TERM:

165245-96-5, p38 Kinase

ROLE: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of oxopyrido[2,3-d]pyrimidines for treating p38 mediated disorders)

INDEX TERM:

770-31-0P

ROLE: SPN (Synthetic preparation); PREP (Preparation)

(preparation of oxopyrido[2,3-d]pyrimidines for treating p38 mediated disorders)

INDEX TERM:

62-53-3, Aniline, reactions 64-04-0, Phenethylamine  
 75-30-9, 2-Iodopropane 78-81-9, Isobutylamine 78-84-2,  
 Isobutyraldehyde 96-32-2, Methyl bromoacetate 98-09-9,

Benzenesulfonyl chloride 100-46-9, Benzylamine, reactions  
 104-10-9, 2-(4-Aminophenyl)ethanol 108-98-5, Thiophenol,  
 reactions 109-55-7, N,N-Dimethylpropane-1,3-diamine  
 109-73-9, Butylamine, reactions 109-85-3,  
 2-Methoxyethylamine 123-42-2, 4-Hydroxy-4-methyl-2-  
 pentanone 124-68-5, 2-Amino-2-methylpropan-1-ol  
 367-12-4, 2-Fluorophenol 367-25-9, 2,4-Difluoroaniline  
 371-40-4, 4-Fluoroaniline 371-41-5, 4-Fluorophenol  
 501-53-1, Benzyl chloroformate 502-83-0,  
 2-Amino-4-(methylthio)butan-1-ol 616-30-8,  
 3-Aminopropane-1,2-diol 765-30-0, Cyclopropylamine  
 1001-53-2, N-(2-Aminoethyl)acetamide 1003-03-8,  
 Cyclopentylamine 1072-72-6, Tetrahydrothiopyran-4-one  
 1609-86-5, tert-Butyl isocyanate 1939-99-7,  
 $\alpha$ -Toluenesulfonyl chloride 2026-48-4 2032-34-0,  
 3,3-Diethoxypropanenitrile 2065-23-8, Methyl  
 phenoxyacetate 2454-96-8, 2-Amino-5-Methylpyridine  
 hydrochloride 2516-47-4, Cyclopropylmethylamine  
 2941-20-0,  $\alpha$ -Ethylbenzylamine 3218-02-8,  
 Cyclohexanemethanamine 3731-51-9, Pyridin-2-ylmethylamine  
 3731-53-1, 4-(Aminomethyl)pyridine 4244-84-2, Ethyl  
 $\beta$ -alaninate hydrochloride 4313-56-8 4543-47-9,  
 3-Furfurylamine 4572-03-6, 1-(3-Aminopropyl)-4-  
 methylpiperazine 4841-22-9, Methyl 4-chlorophenoxyacetate  
 5332-73-0, 3-Methoxypropylamine 5909-24-0, Ethyl  
 4-chloro-2-methylthiopyrimidine-5-carboxylate 6126-22-3  
 6956-85-0, Methyl 2-chlorophenoxyacetate 7116-38-3  
 7149-62-4 7663-77-6, 1-(3-Aminopropyl)pyrrolidin-2-one  
 10316-79-7 16369-14-5, 2-Aminopentan-1-ol 16867-03-1,  
 2-Aminopyridin-3-ol 18944-77-9 20173-24-4,  
 2-(Pyridin-3-yl)ethylamine 27489-62-9,  
 trans-4-Aminocyclohexanol 27578-60-5, 2-Piperidin-1-  
 ylethylamine 28875-17-4, N-(tert-Butoxycarbonyl)-L-alanine  
 methyl ester 38041-19-9, 4-Aminotetrahydropyran  
 38519-63-0, 4-(2-Diethylaminoethoxy)aniline 40296-46-6  
 50541-93-0, 4-Amino-1-benzylpiperidine 58859-46-4, Ethyl  
 4-amino-1-piperidinecarboxylate 70183-89-0 129368-01-0  
 138564-16-6 141286-91-1, Methyl 2,6-difluorophenoxyacetate  
 210240-73-6 215940-92-4 226396-70-9 449811-63-6  
 449811-69-2 449811-71-6 449811-73-8 449811-75-0  
 449811-76-1 449811-78-3 **449811-79-4**  
 449811-81-8 449811-82-9 449811-84-1 449811-85-2  
**449811-86-3** 449811-87-4

ROLE: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of oxopyrido[2,3-d]pyrimidines for  
 treating p38 mediated disorders)

IT **449808-64-4P**

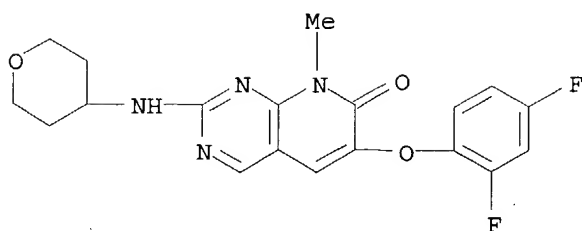
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)

(inhibitor; preparation of oxopyrido[2,3-d]pyrimidines for treating p38  
 mediated disorders)

RN 449808-64-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 6-(2,4-difluorophenoxy)-8-methyl-2-  
 [(tetrahydro-2H-pyran-4-yl)amino]-, monohydrochloride (9CI) (CA INDEX  
 NAME)





● HCl

=> d 118 ibib ab 7-

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L18 ANSWER 7 OF 9 USPATFULL on STN DUPLICATE 2  
 ACCESSION NUMBER: 2003:245170 USPATFULL  
 TITLE: 6-Substituted pyrido-pyrimidines  
 INVENTOR(S): Chen, Jian Jeffrey, Santa Clara, CA, UNITED STATES  
 Dunn, James Patrick, Los Altos, CA, UNITED STATES  
 Goldstein, David Michael, San Jose, CA, UNITED STATES  
 Stahl, Christoph Martin, Freiburg, GERMANY, FEDERAL  
 REPUBLIC OF

|                     | NUMBER        | KIND | DATE          |
|---------------------|---------------|------|---------------|
| PATENT INFORMATION: | US 2003171584 | A1   | 20030911      |
|                     | US 6696566    | B2   | 20040224      |
| APPLICATION INFO.:  | US 2002-73845 | A1   | 20020211 (10) |

|                       | NUMBER   | DATE          |
|-----------------------|--|---------------|
| PRIORITY INFORMATION: | US 2001-268375P  | 20010212 (60) |
|                       | US 2001-334654P  | 20011130 (60) |
| DOCUMENT TYPE:        | Utility  |               |
| FILE SEGMENT:         | APPLICATION  |               |
| LEGAL REPRESENTATIVE: | Rohan Peries, Roche Bioscience, Patent Law Dept. M/S<br>A2-250, 3401 Hillview Avenue, Palo Alto, CA, 94304 |               |
| NUMBER OF CLAIMS:     | 59   |               |
| EXEMPLARY CLAIM:      | 1  |               |
| LINE COUNT:           | 4507   |               |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds of the Formula I and II:  
 ##STR1##

wherein R.sup.1, R.sup.3, W, Z, X.sup.1, X.sup.2, Ar.sup.1, R.sup.8 and R.sup.9 are as defined herein, and methods and intermediates for their preparation and uses thereof.

L18 ANSWER 8 OF 9 USPATFULL on STN  
 ACCESSION NUMBER: 2004:51568 USPATFULL  
 TITLE: 6-alkoxy-pyrido-pyrimidines  
 INVENTOR(S): Goldstein, David Michael, San Jose, CA, UNITED STATES  
 Lim, Julie Anne, San Mateo, CA, UNITED STATES

|                     | NUMBER         | KIND | DATE          |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004038999  | A1   | 20040226      |
| APPLICATION INFO.:  | US 2003-634936 | A1   | 20030805 (10) |

|  | NUMBER   | DATE          |
|--|--|---------------|
| PRIORITY INFORMATION:                      | US 2002-401491P  | 20020806 (60) |
| DOCUMENT TYPE:                             | Utility  |               |
| FILE SEGMENT:                              | APPLICATION  |               |
| LEGAL REPRESENTATIVE:                      | ROCHE PALO ALTO LLC, Patent Law Dept. M/S A2-250, 3431 Hillview Avenue, Palo Alto, CA, 94304 |               |
| NUMBER OF CLAIMS:                          | 18   |               |
| EXEMPLARY CLAIM:                           | 1  |               |
| LINE COUNT:                                | 1550   |               |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. |  |               |
| AB   | The present invention provides compounds of the Formula I: ##STR1##                          |               |

wherein R.sup.1 is alkyl, cycloalkyl, cycloalkylalkyl, or --CH.sub.2-alkenyl, X.sup.1 is O, NH, N(alkyl), S or --C(.dbd.O), Z is N or CH; and R.sup.2 and R.sup.3 are as defined herein, pharmaceutical compositions comprising same, and methods for their use.

L18 ANSWER 9 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2003:214358 USPATFULL  
TITLE: 2-(Pyridin-2-ylamino)-pyrido[2,3-d]pyrimidin-7-ones  
INVENTOR(S): Barvian, Mark, Ann Arbor, MI, UNITED STATES  
Booth, Richard John, Ann Arbor, MI, UNITED STATES  
Ouin, John, III, Ann Arbor, MI, UNITED STATES  
Thomas Repine, Joseph, Ann Arbor, MI, UNITED STATES  
Sheehan, Derek J., Dexter, MI, UNITED STATES  
Toogood, Peter Laurence, Ann Arbor, MI, UNITED STATES  
Vanderwel, Scott Norman, Ann Arbor, MI, UNITED STATES  
Zhou, Hairong, Ann Arbor, MI, UNITED STATES

|                     | NUMBER         | KIND | DATE          |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2003149001  | A1   | 20030807      |
| APPLICATION INFO.:  | US 2003-345778 | A1   | 20030116 (10) |

|  | NUMBER   | DATE          |
|--|--|---------------|
| PRIORITY INFORMATION:                      | US 2002-350877P  | 20020122 (60) |
| DOCUMENT TYPE:                             | Utility  |               |
| FILE SEGMENT:                              | APPLICATION  |               |
| LEGAL REPRESENTATIVE:                      | Rosanne Goodman, Warner-Lambert Company LLC, 2800 Plymouth Road, Ann Arbor, MI, 48105  |               |
| NUMBER OF CLAIMS:                          | 15   |               |
| EXEMPLARY CLAIM:                           | 1  |               |
| LINE COUNT:                                | 4848   |               |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. |  |               |
| AB   | The present invention provides substituted 2-aminopyridines useful in treating cell proliferative disorders. The novel compounds of the present invention are potent inhibitors of cyclin-dependent kinases 4 (cdk4). ##STR1## |               |

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 15:49:58 ON 07 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE  
 AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

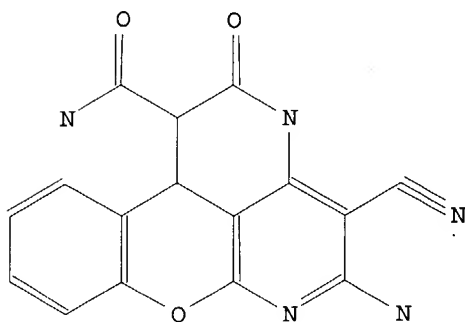
LAST RELOADED: Apr 30, 2004 (20040430/UP).

=> d l9 grd phy che rx

YOU HAVE REQUESTED DATA FROM FILE 'BEILSTEIN' - CONTINUE? (Y)/N:y

L9 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 7496367  
 Chemical Name (CN): 5-amino-4-cyano-2-oxo-1,2,3,11b-tetrahydro<1>benzopyrano<4,3,2-de><1,6>naphthyridine-1-carboxamide  
 Autonom Name (AUN): 5-amino-4-cyano-2-oxo-1,2,3,11b-tetrahydro-7-oxa-3,6-diaza-benzo<de>anthracene-1-carboxylic acid amide  
 Molec. Formula (MF): C16 H11 N5 O3  
 Molecular Weight (MW): 321.29  
 Lawson Number (LN): 32267  
 Compound Type (CTYPE): heterocyclic  
 Constitution ID (CONSID): 6375343  
 Tautomer ID (TAUTID): 7050481  
 Beilstein Citation (BSO): 6-27  
 Entry Date (DED): 1996/11/12  
 Update Date (DUPD): 1997/08/11



Field Availability:

| Code  | Name              | Occurrence |
|-------|-------------------|------------|
| BRN   | Beilstein Records | 1          |
| CN    | Chemical Name     | 1          |
| AUN   | Autonomname       | 1          |
| MF    | Molecular Formula | 1          |
| FW    | Formular Weight   | 1          |
| LN    | Lawson Number     | 1          |
| CTYPE | Compound Type     | 1          |

|        |                            |   |
|--------|----------------------------|---|
| CONSID | Constitution ID            | 1 |
| TAUTID | Tautomer ID                | 1 |
| BSO    | Beilstein Citation         | 1 |
| ED     | Entry Date                 | 1 |
| UPD    | Update Date                | 1 |
| IR     | Infrared Spectrum          | 1 |
| MP     | Melting Point              | 1 |
| NMR    | Nuclear Magnetic Resonance | 3 |

This substance also occurs in Reaction Documents:

| Code  | Name                          | Occurrence |
|-------|-------------------------------|------------|
| ===== | =====                         | =====      |
| RX    | Reaction Documents            | 1          |
| RXPRO | Substance is Reaction Product | 1          |

#### Melting Point:

| Value | Ref.  | Note  |
|-------|-------|-------|
| (MP)  |       |       |
| (Cel) |       |       |
| ===== | ===== | ===== |
| 307   | 1     | 1     |

#### Reference(s):

- O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien, John E.,  
J.Chem.Res.Miniprint, CODEN: JRMPDM(12), <1995>, 3001-3017; BABS-6017436

#### Notes(s):

- 50

#### Nuclear Magnetic Resonance:

##### NMR

Description (.KW): Chemical shifts  
 Nucleus (.NUC): <sup>1</sup>H  
 Solvents (.SOL): dimethylsulfoxide-d6  
 Reference(s):  
 1. O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien, John E.,  
 J.Chem.Res.Miniprint, CODEN: JRMPDM(12), <1995>, 3001-3017;  
 BABS-6017436

##### NMR

Description (.KW): Chemical shifts  
 Nucleus (.NUC): <sup>13</sup>C  
 Solvents (.SOL): dimethylsulfoxide-d6  
 Reference(s):  
 1. O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien, John E.,  
 J.Chem.Res.Miniprint, CODEN: JRMPDM(12), <1995>, 3001-3017;  
 BABS-6017436

##### NMR

Description (.KW): Spin-spin coupling constants  
 Solvents (.SOL): dimethylsulfoxide-d6  
 Note(s) (.COM): <sup>1</sup>H-<sup>1</sup>H  
 Reference(s):  
 1. O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien, John E.,  
 J.Chem.Res.Miniprint, CODEN: JRMPDM(12), <1995>, 3001-3017;  
 BABS-6017436

## Infrared Spectrum:

| Descript<br>ion<br>(.KW) | Solvent<br>(.SOL) | Ref. | Note |
|--------------------------|-------------------|------|------|
|--------------------------|-------------------|------|------|

|       |       |       |       |
|-------|-------|-------|-------|
| ===== | ===== | ===== | ===== |
| Bands | nujol | 1     | 1     |

## Reference(s):

1. O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien, John E.,  
J.Chem.Res.Miniprint, CODEN: JRMPDM(12), <1995>, 3001-3017; BABS-6017436

## Notes(s):

1. 3419 - 1640 cm\*\*(-1)

## Reaction:

RX

|                                |  |
|--------------------------------|--|
| Reaction ID (.ID):             | 4505431  |
| Reactant BRN (.RBRN):          | 9086, 1756131  |
| Reactant (.RCT):               | 2-oxo-2H-chromene-3-carboxylic acid amide,<br>2-amino-prop-1-ene-1,1,3-tricarbonitrile                         |
| Product BRN (.PBRN):           | 7496367  |
| Product (.PRO):                | 5-amino-4-cyano-2-oxo-1,2,3,11b-tetrahydro-<br>7-oxa-3,6-diaza-benzo<de>anthracene-1-<br>carboxylic acid amide |
| No. of React. Details (.NVAR): | 1  |

## Reaction Details:

RX

|  |                          |
|--|--------------------------|
| Reaction RID (.RID):   | 4505431.1                |
| Reaction Classification (.CL):   | Preparation              |
| Yield (.YDT):  | 63 percent (BRN=7496367) |
| Reagent (.RGT):  | NH3 33percent aq.        |
| Solvent (.SOL):  | H2O                      |
| Time (.TIM):   | 20 hour(s)               |
| Other Conditions (.COND):  | Heating                  |
| Reference(s):  |                          |
| 1. O'Callaghan, Conor N.; McMurry, T. Brian H.; O'Brien, John E.,<br>J.Chem.Res.Miniprint, CODEN: JRMPDM(12), <1995>, 3001-3017;<br>BABS-6017436 |                          |

=&gt; FIL STNGUIDE

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